

What is claimed is :

1. A process for preparing the compound of formula (I) as shown in Scheme 2, characterized in that it comprises the following steps of:

5 (a) reacting an epoxide compound of formula (III) with vinyl magnesium bromide or vinyl magnesium chloride to produce a β -hydroxy compound of formula (IV);

(b) protecting the hydroxy group of β -hydroxy compound of formula (IV) with an alkyloxy carbonyl group by reacting the β -hydroxy compound of formula (IV) with dialkyldicarbonate such as di-*tert*-butyl dicarbonate to produce a compound of formula
10 (V);

(c) cyclization-reacting the compound of formula (V) by a iodolactone forming reaction to produce a compound of formula (VI);

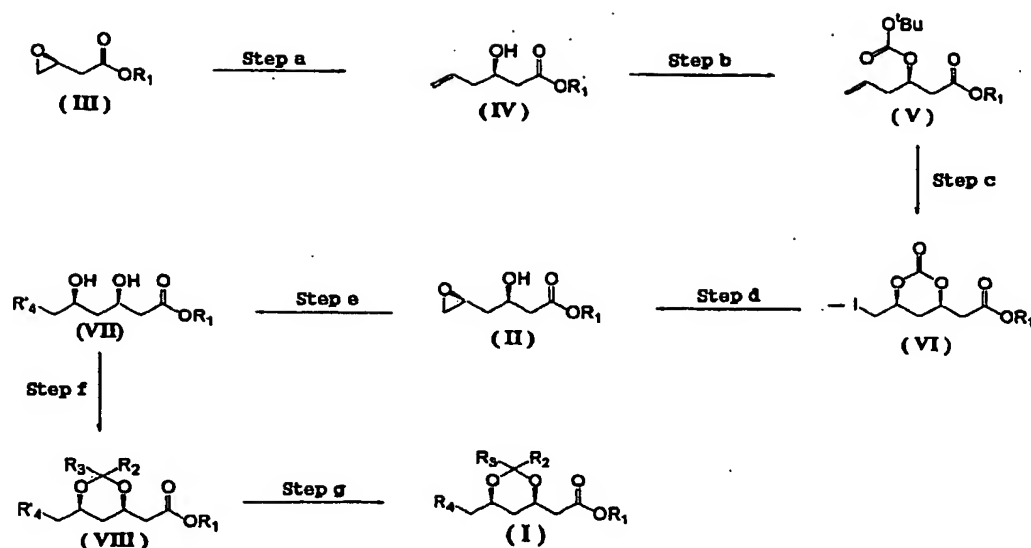
(d) treating the compound of formula (VI) with a weak base such as K_2CO_3 , Na_2CO_3 to produce a compound of formula (II);

15 (e) producing a 1,3-diol compound of formula (VII) by a ring opening reaction of the compound of formula (II) with various nucleophiles in the presence of a metal catalyst and a phase transition catalyst;

(f) treating the 1,3-diol compound of formula (VII) with an acetylating agent or a ketalizing agent in the presence of an acid catalyst to transform the compound of formula
20 (VII) into a compound of formula (VIII); and

(g) if necessary, producing a compound of formula (I) by exchanging R'_4 group in the compound of formula (VIII):

【Scheme 2】



wherein R_1 denotes a hydrogen atom, alkyl, aryl or alkylaryl, R_2 and R_3 which can be identical or different, denote a lower alkyl or phenyl and are capable of forming a six-membered ring, R_4 stands for hydroxy, amino, alkylamino, arylamino, azido, cyano, halogeno, aryloxy, alkyloxy, arylalkyloxy, alkyl, alkenyl, aryl, or aminomethyl, etc. and R'_4 is the same as R_4 or a group of the precursor form.

2. A process for preparing the compound of formula (II) as the intermediate as shown in Scheme 2, characterized in that it comprises the following steps of:

(a) reacting an epoxide compound of formula (III) with vinyl magnesium bromide or vinyl magnesium chloride to produce a β -hydroxy compound of formula (IV);

(b) protecting the hydroxy group of β -hydroxy compound of formula (IV) with an alkyloxy carbonyl group by reacting the β -hydroxy compound of formula (IV) with dialkyldicarbonate such as di-*tert*-butyl dicarbonate to produce the compound of formula (V);

(c) cyclization-reacting the compound of formula (V) to produce a compound of formula (VI); and

(d) treating the compound of formula (VI) with a weak base such as K_2CO_3 , Na_2CO_3

to produce a compound of formula (II).

3. The process as claimed in Claim 1, wherein R_4 is $-CH_2NH_2$ or $-OH$, and R'_4 which is the precursor form of R_4 is $-CN$, $-OAc$ or $-OBn$.

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4. The process as claimed in Claim 1 or 2, wherein R_1 is a methyl, ethyl or *tert*-butyl group, and both R_2 and R_3 are methyl group.

5. The process as claimed in Claim 1 or 2, wherein the reaction of Step (c) is carried
10 out at temperature between -80°C and 0°C by IBr dissolved in either trifluoromethylbenzene itself or trifluoromethylbenzen together with an organic solvent such as toluene or benzene.

6. The process as claimed in Claim 1 or 2, wherein the reaction of Step (d) is carried
15 out under 3 equivalents of potassium carbonate/methanol or sodium carbonate/methanol at temperature between -78°C and 0°C .

7. The process as claimed in Claim 1, wherein the nucleophile used in Step (e) is MCN , $MOAc$ or $MOBn$ (wherein M denotes Li , Na , or K).

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8. The process as claimed in Claim 1, wherein the metal catalyst used in Step (e) is titanium isopropoxide [$Ti(O^iPr)_4$], aluminium isopropoxide [$Al(O^iPr)_3$] or trifluoroboron diethylether [$BF_3.OEt_2$], and the phase transition catalyst is 18-crown-6, 15-crown-5, 12-crown-4 or tetrabutylammonium halide.

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